## <u>Claims</u>

1. A process for preparing a compound of formula (I)

5

where R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkanoyl,

(I)

- 10 C<sub>1-6</sub>alkanoyloxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>amino, C<sub>1-6</sub>alkanoylamino, N-(C-<sub>1-6</sub>alkyl)carbamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-6</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2, C-<sub>1-6</sub>alkoxycarbonyl, C<sub>1-6</sub>alkoxycarbonylamino, N-(C<sub>1-6</sub>alkyl)sulphamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, C<sub>1-6</sub>alkylsulphonylamino and C<sub>1-6</sub>alkylsulphonyl-N-(C<sub>1-6</sub>alkyl)amino; and R<sup>6</sup> is hydrogen or a protecting group,
- 15 which process comprises cyclisation of a compound of formula (II)

where  $R^4$ ,  $R^5$  and  $R^6$  are as defined in relation to formula (I), and  $R^7$  is a nitrogen protecting group, and removing the group  $R^7$ , and thereafter if desired, removing any protecting group  $R^6$ .

20

2. A method according to claim 1 wherein R<sup>7</sup> is a group of sub-formula (i)

(i

where R<sup>8</sup> is a straight chain alkyl group of from 1 to 6 carbon atoms.

5

10

3. A process according to claim 1 or claim 2 wherein  $R^4$  and  $R^5$  are independently selected from hydrogen, halo, nitro, cyano, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethyl, trifluoromethoxy, carboxy, carbamoyl, sulphamoyl, ureido,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{1-6}$ alkynyl,  $C_{1-6}$ alkoxy,  $C_{1-6}$ alkanoyl and  $C_{1-6}$ alkanoyloxy.

4. A compound of formula (II) as defined in claim 1.

5. A process for preparing a compound according to claim 4 which comprises reacting a compound of formula (III)

·(III)

•

where R<sup>4</sup> and R<sup>5</sup> are as defined in relation to formula (I), and R<sup>12</sup> is a directing nitrogen protecting group, with a compound of formula (IV)

$$(\mathbb{R}^7)_2\mathcal{O}$$

(IV)

15 where R<sup>7</sup> is as defined above, under acidic conditions.

- 6. A compound of formula (III) as defined in claim 5.
- 7. A process for preparing a compound according to claim 6 which comprises reacting a 20 compound of formula (V)

(V

where  $R^4$  and  $R^5$  are as defined above in claim 1 and  $R^{12}$  is as defined in relation to formula (III), with a compound of formula (VI)

## LCH₂COOR6 (VI)

where L is a leaving group.

- 5 8. A compound of formula (V) as defined in claim 7.
  - 9. A process for preparing a compound according to claim 8 which comprises reacting a compound of formula (VII)

where R<sup>4</sup> and R<sup>5</sup> are as defined in claim 1 and R<sup>12</sup> is as defined in relation to formula (III), with a lithiating agent, such as N-butyl lithium, and subsequently with a formylating agent, such as a compound of formula (VIII)

where R<sup>9</sup> and R<sup>10</sup> are alkyl groups and in particular lower alkyl groups of 1 to 4 carbon atoms, such as methyl.

- 10. A compound of formula (VII) as defined in claim 9.
- 11. A process for preparing a compound according to claim 10 which comprises subjecting a compound of formula (IX)

group selected from R;

where R<sup>4</sup> and R<sup>5</sup> are as defined above in relation to formula (I), to a Curtius rearrangement reaction, in the presence of an alcohol of formula R<sup>12</sup>OH where R<sup>12</sup> is as defined in claim 5.

12. A method according to claim 1, for the production of a compound of formula (I)
5 where R<sup>6</sup> is hydrogen, wherein the method further comprises the step of reacting the compound of formula (I) obtained with an amine of formula (XIII),

where R<sup>14</sup> is selected from hydrogen or C<sub>1-8</sub>alkyl,

- m is an integer of from 0 to 4,
  each R<sup>15</sup> is the same or different and is selected from hydrogen, halo, nitro, cyano, hydroxy,
  amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl,
  C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkanoyl, C<sub>1-6</sub>alkanoyloxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>amino,
  C<sub>1-6</sub>alkanoylamino, N-(C<sub>1-6</sub>alkyl)carbamoyl, N,N-(C<sub>1-4</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-6</sub>alkylS(O)<sub>a</sub>
- wherein a is 0 to 2, C<sub>1-6</sub>alkoxycarbonyl, C<sub>1-6</sub>alkoxycarbonylamino, N-(C<sub>1-6</sub>alkyl)sulphamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, C<sub>1-6</sub>alkylsulphonylamino,
  C<sub>1-6</sub>alkylsulphonyl-N-(C<sub>1-6</sub>alkyl)amino, C<sub>3-8</sub>cycloalkyl, C<sub>3-8</sub>cycloalkylC<sub>1-6</sub>alkyl, aryl, arylC<sub>1-6</sub>alkyl, heterocyclic group and (heterocyclic group)C<sub>1-6</sub>alkyl; wherein R<sup>1</sup> may be optionally substituted on carbon by one or more groups selected from P and wherein if said
  heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a
- each R<sup>16</sup> is the same or different and is selected from is hydrogen or C<sub>1-6</sub>alkyl;

  R<sup>17</sup> is selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl,
  difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto,
  25 sulphamoyl, ureido, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkanoyl,
  C<sub>1-6</sub>alkanoyloxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>amino, C<sub>1-6</sub>alkanoylamino,
  N-(C<sub>1-6</sub>alkyl)carbamoyl, N,N-(C<sub>1-4</sub>alkyl)<sub>2</sub>carbamoyl, N-(C<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkoxy)carbamoyl,
  C<sub>1-6</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2, C<sub>1-6</sub>alkoxycarbonyl, C<sub>1-6</sub>alkoxycarbonylamino,
  N-(C<sub>1-6</sub>alkyl)sulphamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, sulphamoylamino,
- 30 N-(C<sub>1.6</sub>alkyl)sulphamoylamino, N,N-(C<sub>1.6</sub>alkyl)<sub>2</sub>sulphamoylamino, C<sub>1.6</sub>alkylsulphonylamino,

C<sub>1-6</sub>alkylsulphonylaminocarbonyl, C<sub>1-6</sub>alkylsulphonyl-*N*-(C<sub>1-6</sub>alkyl)amino and a group -E-F-G-H;

wherein E and G are independently selected from a direct bond, -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -C(O)O-, -C(O)-, -NR<sup>a</sup>-, -NR<sup>a</sup>C(O)-, -C(O)NR<sup>a</sup>-, -SO<sub>2</sub>NR<sup>a</sup>-, -NR<sup>a</sup>SO<sub>2</sub>-,

5 -NR<sup>a</sup>C(O)NR<sup>b</sup>-, -OC(O)NR<sup>a</sup>-, -NR<sup>a</sup>C(O)O-, -NR<sup>a</sup>SO<sub>2</sub>NR<sup>b</sup>-, -SO<sub>2</sub>NR<sup>a</sup>C(O)- and -C(O)NR<sup>a</sup>SO<sub>2</sub>-; wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from hydrogen or C<sub>1-6</sub>alkyl which is optionally substituted by a group V;

F is C1-salkylene optionally substituted by one or more Q or a direct bond;

H is selected from aryl, C<sub>3-8</sub>cycloalkyl and heterocyclic group; wherein H may be optionally substituted on carbon by one or more groups selected from S and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from T;

- P, S and Q are independently selected from halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido,
- 15 C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkanoyl, C<sub>1-6</sub>alkanoyloxy, N-(C<sub>1-6</sub>alkyl)amino, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>amino, C<sub>1-6</sub>alkanoylamino, N-(C<sub>1-6</sub>alkyl)carbamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>carbamoyl, N-(C<sub>1-6</sub>alkyl)-N-(C<sub>1-6</sub>alkoxy)carbamoyl, C<sub>1-6</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2, C<sub>1-6</sub>alkoxycarbonyl, C<sub>1-6</sub>alkoxycarbonylamino, N-(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, N,N-(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, C<sub>1-6</sub>alkylsulphonylamino,
- 20 C<sub>1-6</sub>alkylsulphonyl-N-(C<sub>1-6</sub>alkyl)amino, C<sub>3-8</sub>cycloalkyl, aryl and heterocyclic group; wherein P, S and Q may be optionally and independently substituted on carbon by one or more groups selected from V and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from U;

V is selected from halo, nitro, cyano, hydroxy, trifluoromethoxy, trifluoromethyl, amino, carboxy, carbamoyl, mercapto, sulphamoyl, methyl, ethyl, methoxy, ethoxy, acetyl, acetoxy, methylamino, ethylamino, dimethylamino, diethylamino, N-methyl-N-ethylamino, acetylamino, N-methylcarbamoyl, N-ethylcarbamoyl, N,N-dimethylcarbamoyl, N,N-diethylcarbamoyl, N-methyl-N-ethylcarbamoyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, mesyl, ethylsulphonyl, methoxycarbonyl, ethoxycarbonyl,

30 N-methylsulphamoyl, N-ethylsulphamoyl, N,N-dimethylsulphamoyl, N,N-diethylsulphamoyl, N-methyl-N-ethylsulphamoyl, morpholino, morpholinocarbonyl, N- benzylcarbamoyl, and 4-hydroxypiperidinocarbonyl;

R, T and U are independently selected from C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkanoyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonyl, carbamoyl, N-(C<sub>1-4</sub>alkyl)carbamoyl, N,N-(C<sub>1-4</sub>alkyl)carbamoyl, phenyl, benzyl, benzyloxycarbonyl, benzoyl and phenylsulphonyl wherein R, T and U may be optionally and independently substituted on carbon by one or 5 more groups selected from V; to produce a compound of formula (XIV)

$$R^{4} \longrightarrow R^{14} \longrightarrow R^{15} \longrightarrow R^{15}$$

$$(XIV)$$

where R<sup>4</sup>, R<sup>5</sup>, R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and m are as defined above, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester thereof.